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                   (CS) field
 NEWS  4  AUG 24   ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
 NEWS  5  AUG 24   CA/CAplus enhanced with legal status information for
                   U.S. patents
 NEWS  6  SEP 09   50 Millionth Unique Chemical Substance Recorded in
                   CAS REGISTRY
 NEWS  7  SEP 11   WPIDS, WPINDEX, and WPIX now include Japanese FTERM
                   thesaurus
 NEWS  8  OCT 21   Derwent World Patents Index Coverage of Indian and
                   Taiwanese Content Expanded
 NEWS  9  OCT 21   Derwent World Patents Index enhanced with human
                   translated claims for Chinese Applications and
                   Utility Models
 NEWS 10  NOV 23   Addition of SCAN format to selected STN databases
 NEWS 11  NOV 23   Annual Reload of IFI Databases
 NEWS 12  DEC 01   FRFULL Content and Search Enhancements
 NEWS 13  DEC 01   DGENE, USGENE, and PCTGEN: new percent identity
                   feature for sorting BLAST answer sets
 NEWS 14  DEC 02   Derwent World Patent Index: Japanese FI-TERM
                   thesaurus added
 NEWS 15  DEC 02   PCTGEN enhanced with patent family and legal status
                   display data from INPADOCDB
 NEWS 16  DEC 02   USGENE: Enhanced coverage of bibliographic and
                   sequence information
 NEWS 17  DEC 21   New Indicator Identifies Multiple Basic Patent
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FILE 'HOME' ENTERED AT 13:30:49 ON 14 JAN 2010

of
experimental property data in the original document. For information
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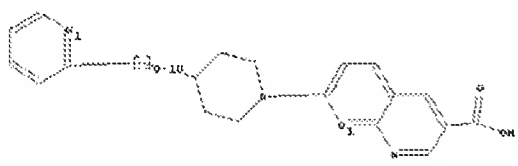
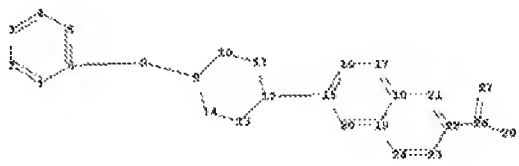
http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10583419.str





chain nodes :
8 26 27 28
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24
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6-8 8-9 12-15 22-26 26-27 26-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-8 8-9 9-10 9-14 10-11 11-12 12-13 12-15 13-
14 15-16 15-20 16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 22-26
23-24
normalized bonds :
26-27 26-28

G1:C,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 26:CLASS 27:CLASS
28:CLASS

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=> d l1
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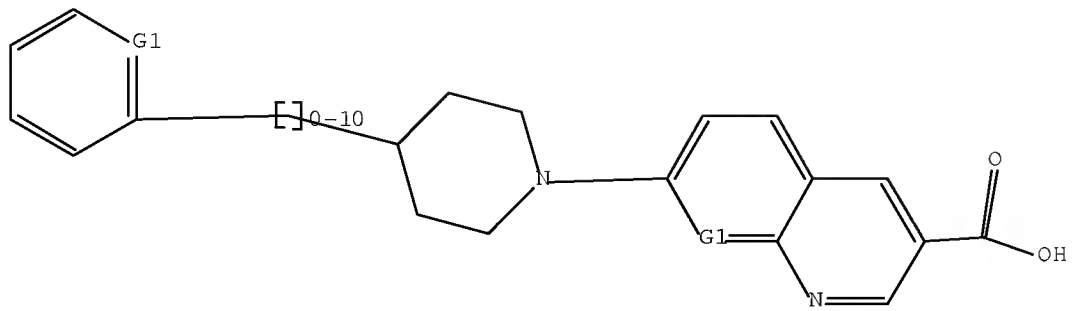
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED - 25 TO ITERATE

100.0% PROCESSED 25 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 200 TO 800
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 13:32:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 748 TO ITERATE

100.0% PROCESSED 748 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

STRUCTURE FILE UPDATES: 13 JAN 2010 HIGHEST RN 1202161-01-0
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http://www.cas.org/support/stngen/stndoc/properties.html

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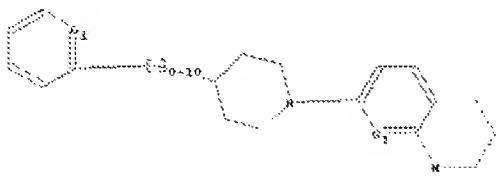

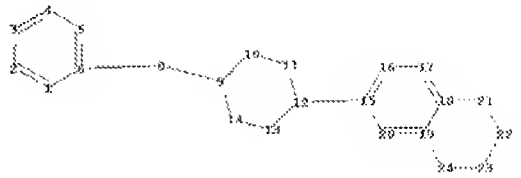

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ring nodes :
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chain bonds :
6-8 8-9 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24
exact/norm bonds :
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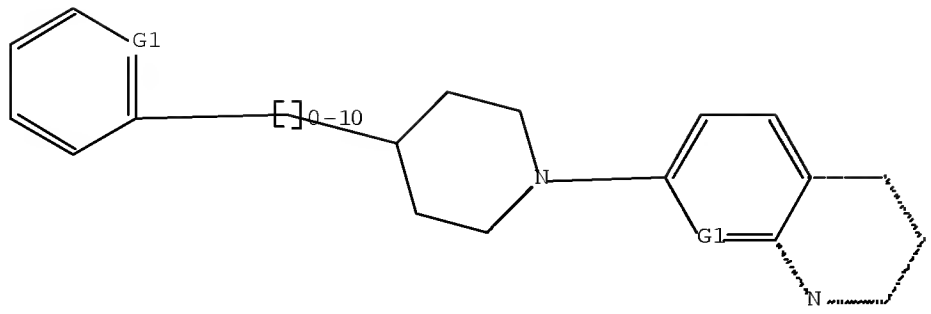
14 15-16 15-20 16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24

G1:C,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 13:33:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1095 TO ITERATE

100.0% PROCESSED 1095 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 19915 TO 23885
PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s l4 ful
FULL SEARCH INITIATED 13:34:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21544 TO ITERATE

100.0% PROCESSED 21544 ITERATIONS 45 ANSWERS
SEARCH TIME: 00.00.01

L6 45 SEA SSS FUL L4

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

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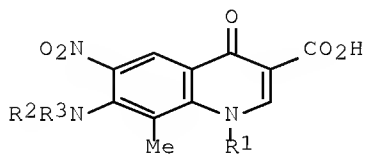
=> s l6
L7 24 L6

=> d abs fbib fhitstr 1-24

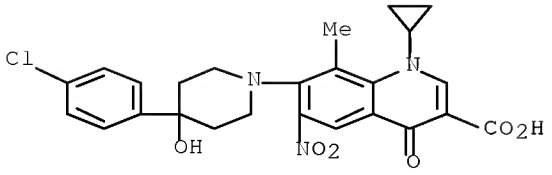
L7 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I

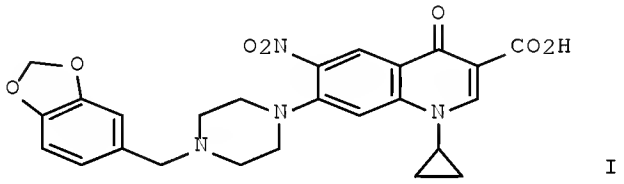
AB Fifty one quinoline-3-carboxylic acids I (R1 = c-Pr, t-Bu, 2,4-F2C6H3; R2R3N = 17 secondary amines) were synthesized from 1,3-dichloro-2-methylbenzene and evaluated for in-vitro antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2). Among the synthesized compds., I (R1 = c-Pr, R2R3N = 1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl) was found to be the most active compound in vitro with a MIC value of 0.39 μM against MTB. Against MDR-TB, compound I (R1 = c-Pr, R2R3N = 2-carboxy-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl) was found to be the most active with a MIC value of 0.09 μM. Generally, quinoline-3-carboxylic acids I (R1 = c-Pr) were most active and most were tested for their cytotoxicity in a mammalian Vero cell line.

AN 2009:254822 CAPLUS Full-text
DN 150:472531
TI Synthesis and in-vitro antimycobacterial evaluation of 1-(cyclopropyl/2,4-difluorophenyl/tert-butyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo-7-(substituted secondary amino)quinoline-3-carboxylic acids
AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Chandraseakaran, Yogesh; Yogeeswari, Perumal; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, India
SO Archiv der Pharmazie (Weinheim, Germany) (2009), 342(2), 100-112
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 150:472531
IT 1146300-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (amino)(oxo)quinolinecarboxylic acids and their antimycobacterial structure-activity relationships)
RN 1146300-42-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI

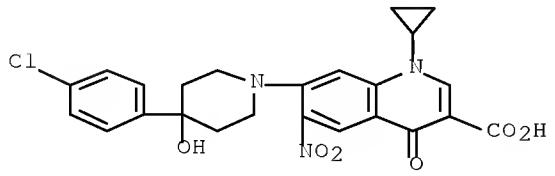


I

AB Various 1-(substituted)-1,4-dihydro-6-nitro-4-oxo-7-(sub-secondary amino)-quinoline-3-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid by six step synthesis. The compds. were evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the 48 synthesized compds., compound I was found to be the most active compound in vitro with MIC of 0.08 and 0.16 μM against MTB and MDR-TB, resp. In the in vivo animal model, compound I decreased the bacterial load in lung and spleen tissues with 2.78 and 4.15-log 10 protections, resp., at the dose of 50 mg/kg body weight

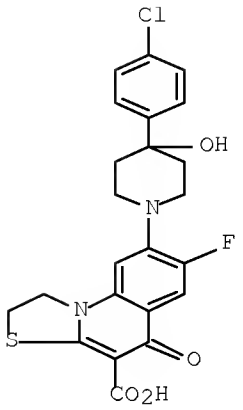
AN 2009:5914 CAPLUS Full-text
DN 150:259917
TI Synthesis and antimycobacterial activities of novel 6-nitroquinolone-3-carboxylic acids
AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; Sriram, Dharmarajan; China, Arnab; Nagaraja, Valakunja
CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333031, India
SO European Journal of Medicinal Chemistry (2009), 44(1), 345-358
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier Masson SAS
DT Journal
LA English
OS CASREACT 150:259917
IT 1119087-07-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of nitroquinolinolonecarboxylic acids via nitration of dichlorobenzoic acid followed by alkylation, cyclization with amines and amination with secondary amines, and their antimycobacterial

activity)
RN 1119087-07-8 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
AB Thirty four novel 7-fluoro/nitro-1,2-dihydro-5-oxo-8-(sub)-5H-thiazolo[3,2-a]quinoline- 4-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid and 2,4-dichloro-5-fluoroacetophenone by multi step reaction, evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 8-[6-[[(1,1-dimethylethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]- 1,2-dihydro-7-nitro-5-oxo-5H-thiazolo[3,2-a]quinoline-4- carboxylic acid (10q) was the most active compound in vitro with MIC of 0.08 μM and <0.08 μM against MTB and MDR-TB resp. Compound 10q was 4.5 and >570 times more potent than isoniazid against MTB and MDR-TB resp. In the in vivo animal model 10q decreased the bacterial load in lung and spleen tissues with 2.51 and 3.71-log10 protections resp. at the dose of 50 mg/kg body weight
AN 2008:1027779 CAPLUS Full-text
DN 149:462071
TI Synthesis, antimycobacterial activities and phototoxic evaluation of 5H-thiazolo[3,2-a]quinoline-4-carboxylic acid derivatives
AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Medicinal Chemistry (2008), 4(5), 482-491
CODEN: MCEHAJ; ISSN: 1573-4064
PB Bentham Science Publishers Ltd.
DT Journal
LA English
OS CASREACT 149:462071
IT 1070905-93-9P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis, antimycobacterial activities and phototoxic evaluation of thiazoloquinoline-carboxylic acid derivs.)
RN 1070905-93-9 CAPLUS
CN 5H-Thiazolo[3,2-a]quinoline-4-carboxylic acid, 8-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-7-fluoro-1,2-dihydro-5-oxo- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB On the basis of our recent findings that 6-aminoquinolones inhibit the HIV Tat-mediated transactivation, we have designed a broad series of derivs. identifying novel potent agents such as the 6-desfluoroquinolones 24 (HM12) and 27 (HM13), which showed pronounced anti-HIV activity in acutely, chronically, and latently HIV-1 infected cell cultures. We demonstrate here that highly potent mols. can be obtained by optimizing the substituent in the various positions of the quinolone nucleus.

AN 2008:1015905 CAPLUS Full-text
DN 149:346718

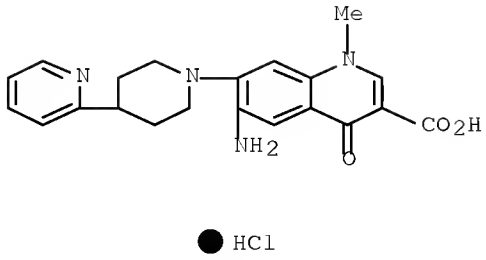
TI Structure-Activity Relationship Study on Anti-HIV 6-Desfluoroquinolones

AU Tabarrini, Oriana; Massari, Serena; Daelemans, Dirk; Stevens, Miguel; Manfroni, Giuseppe; Sabatini, Stefano; Balzarini, Jan; Cecchetti, Violetta; Pannecouque, Christophe; Fravolini, Arnaldo
CS Dipartimento di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy

SO Journal of Medicinal Chemistry (2008), 51(17), 5454-5458
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 149:346718

IT 1056878-77-3P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and SAR of anti-HIV 6-desfluoroquinolones)

RN 1056878-77-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
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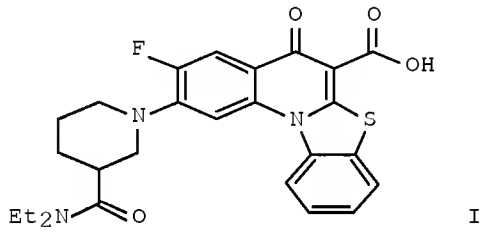
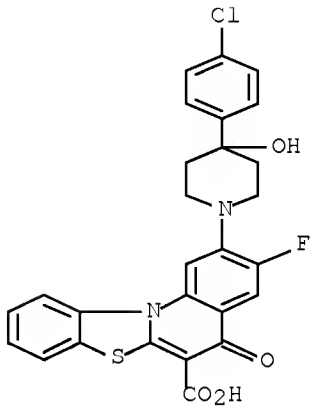


I

AB Various 2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs. were synthesized from 2-aminothiophenol by a five-step reaction, evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multidrug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2), and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the thirty-four synthesized compds., the most active compound (I) had MIC of 0.18 and 0.08 μM in vitro against MTB and MTR-TB, resp. Compound I was found to be 2 and 570 times more potent than isoniazid against MTB and MDR-TB, resp. In the in-vivo animal model 7l decreased the bacterial load in lung and spleen tissues with 2.78 and 3.12 - log 10 protections, resp., at the dose of 50 mg/kg body weight

AN 2008:413955 CAPLUS Full-text
DN 148:580321
TI Antimycobacterial activities of novel 2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs.
AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Bioorganic & Medicinal Chemistry (2008), 16(6), 3408-3418
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal

LA English
OS CASREACT 148:580321
IT 1028203-05-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antimycobacterial activities of novel 2-(sub)-3-fluoro/nitro-5,12-dihydro-5-oxobenzothiazolo[3,2-a]quinoline-6-carboxylic acid derivs.)
RN 1028203-05-5 CAPLUS
CN 5H-Benzothiazolo[3,2-a]quinoline-6-carboxylic acid, 2-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-3-fluoro-5-oxo- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
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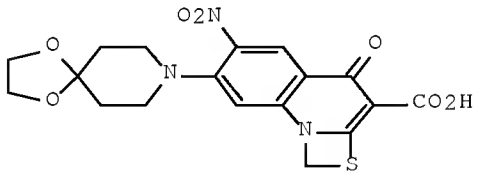


I

AB Several newer 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2- a]quinoline-3-carboxylic acids (10-11a-q) were synthesized from 3,4-difluoro aniline and 3-fluoro-4-nitro aniline by 9-step synthesis. The compds. were evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multidrug-resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis as well as being tested for their ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-6-nitro-4-oxo-4H-[1,3]thiazeto[3,2- a]quinoline-3-carboxylic acid (I) was the most active

compound in vitro, with MICs of 0.09 μM and <0.09 μM against MTB and MDR-TB, resp. I was 4-fold and >506-fold more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model, I decreased the bacterial load in lung and spleen tissues by 30% and 42%, resp., at a dose of 50 mg/kg body weight

AN 2008:366343 CAPLUS Full-text

DN 148:580312

TI Antimycobacterial and phototoxic evaluation of novel 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acid

AU Murugesan, Dinakaran; Palaniappan, Senthilkumar; Perumal, Yogeeswari; Arnab, China; Valakunja, Nagaraja; Sriram, Dharmarajan

CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science (BITS), Pilani, 333031, India

SO International Journal of Antimicrobial Agents (2008), 31(4), 337-344
CODEN: IAAGEA; ISSN: 0924-8579

PB Elsevier B.V.

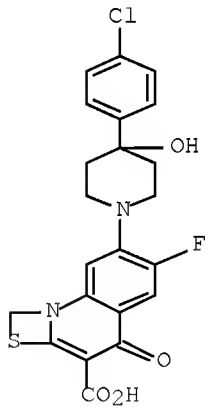
DT Journal

LA English

IT 1027327-22-5P
RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antimycobacterial and phototoxic evaluation of novel 6-fluoro/nitro-4-oxo-7-(sub)-4H-[1,3]thiazeto[3,2-a]quinoline-3-carboxylic acids)

RN 1027327-22-5 CAPLUS

CN 1H,4H-[1,3]Thiazeto[3,2-a]quinoline-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-6-fluoro-4-oxo- (CA INDEX NAME)

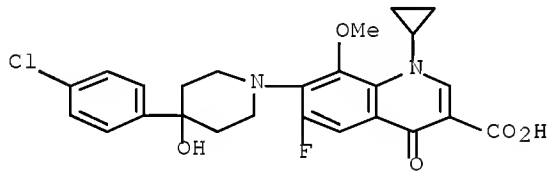


L7 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Thirty-four newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids were synthesized from 1,2,3,4-tetrafluoro benzene and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase. Among the synthesized compds., 7-(1-(4-methoxybenzyl)-3,4,5,6,7,8-hexahydroisoquinolin-2(1H)-yl)- 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxoquinoline-3- carboxylic acid (13n) was found to

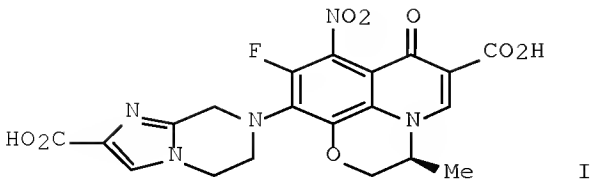
be the most active compound in vitro with MIC of 0.16 and 0.33 μM against MTB and MDR-TB, resp. In the in vivo animal model 13n decreased the bacterial load in lung and spleen tissues with 2.54 and 2.92 - log10 protections, resp., at the dose of 50 mg/kg body weight Compound 13n also inhibited the supercoiling activity of mycobacterial DNA gyrase with IC50 of 30.0 μg/mL.

AN 2008:339599 CAPLUS Full-text
DN 148:444657
TI Synthesis and antimycobacterial evaluation of newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids
AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Banerjee, Debjani; Devakaram, Ruth Vandana; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Bioorganic & Medicinal Chemistry (2008), 16(5), 2558-2569
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 148:444657
IT 1018937-82-0P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids)
RN 1018937-82-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI



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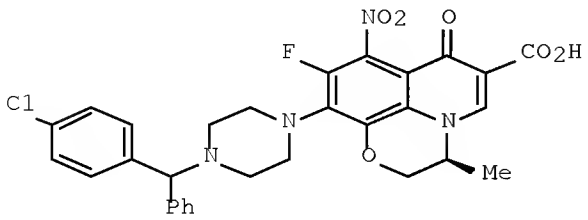


II

AB Thirty derivs. of 7-oxo-7H-[1,4]oxazino[2,3,4-ij]quinoline-6-carboxylic acids were synthesized from 2,3,4,5-tetrafluoro benzoic acid and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from mycobacteria. Among them, compound I was found to be the most active compound in vitro with MIC99 of 0.19 μM and 0.09 μM against MTB and MTR-TB, resp. In the in vivo animal model also the same compound decreased the bacterial load in lung and spleen tissues with 1.91 and 2.91 - log 10 protections, resp., at the dose of 50 mg/kg body weight Compound II was found to be the most active in the inhibition of the supercoiling activity of DNA gyrase with an IC50 of 10.0 μg/mL. The results demonstrate the potential and importance of developing new oxazino quinolone derivs. against mycobacterial infections.

AN 2008:151718 CAPLUS Full-text
DN 148:355718

TI Novel ofloxacin derivatives: Synthesis, antimycobacterial and toxicological evaluation

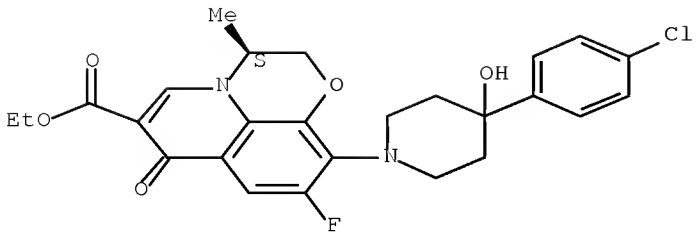
AU Dinakaran, Murugesan; Senthilkumar, Palaniappan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Bioorganic & Medicinal Chemistry Letters (2008), 18(3), 1229-1236
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 148:355718

IT 1012310-46-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of oxazinoquinolinecarboxylic acids starting from tetrafluorobenzoic acid using heterocyclization and amination with secondary amines as key steps, and their antibacterial activity as DNA gyrase inhibitor and toxicity)

RN 1012310-46-1 CAPLUS
CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 10-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-9-fluoro-2,3-dihydro-3-methyl-7-oxo-, ethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Fifty-one 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids were synthesized and evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug-resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 1-tert-butyl-1,4-dihydro-7-(4,4-dimethyloxazolidin-3-yl)-6-nitro-4-oxo-1,8- naphthyridine-3-carboxylic acid (10q) is the most active compound in vitro with an MIC of 0.1 μM against MTB and MDR-TB and was 3 and 455 times more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model 10q decreased the bacterial load in lung and spleen tissues with 2.39 and 3.89-log10protections, resp., at the dose of 50 mg/kg body weight

AN 2007:1215588 CAPLUS Full-text
DN 148:78912

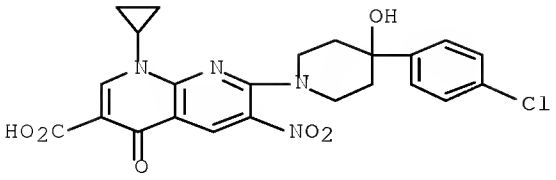
TI Antimycobacterial Activities of Novel 1-(Cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic Acid

AU Sriram, Dharmarajan; Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India

SO Journal of Medicinal Chemistry (2007), 50(24), 6232-6239
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 148:78912

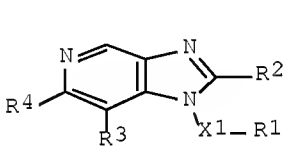
IT 960314-15-2P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic Acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antimycobacterial activities of novel 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids)

RN 960314-15-2 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI



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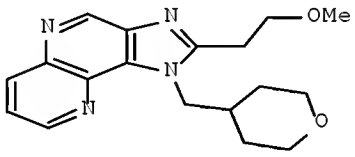

II

AB Certain 1H-imidazo[4,5-c]quinolines, 6,7,8,9-tetrahydro-1H-imidazo[4,5-c]quinolines, 1H-imidazo[4,5-c][1,5]naphthyridines, 6,7,8,9-tetrahydro-1H-imidazo[4,5-c][1,5]naphthyridines, and 1H-imidazo[4,5-c]pyridines substituted at the 1- and 2-positions [I; X1 = CH2, NH, O; R1 = cyclopentyl, cyclohexyl, cyclopentyl, etc.; R2 = NH2, Me, CH2(alkyl), etc.; R3 and R4 taken together form (un)substituted fused benzene or pyridine ring], useful as immunomodulators, were prepared E.g., a multi-step synthesis of II, starting from 4-hydroxy-3-nitro[1,5]naphthyridine, was given. Pharmaceutical compns. containing compds. I, methods of making these compds., and methods of use of these compds. as immunomodulators, for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases, are disclosed.

AN 2007:729369 CAPLUS Full-text
DN 147:143425
TI Preparation of substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines for inducing cytokine biosynthesis
IN Merrill, Bryon A.; Haraldson, Chad A.; Prince, Ryan B.; Manske, Karl J.; Kshirsagar, Tushar A.; Heppner, Philip D.; Dressel, Luke T.; Krepski, Larry R.; Rice, Michael J.
PA 3M Innovative Properties Company, USA
SO PCT Int. Appl., 209pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007075468	A1	20070705	WO 2006-US48017	20061215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

			US 2005-751392P	P	20051216
AU 2006332000	A1	20070705	AU 2006-332000		20061215
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215
CA 2634017	A1	20070705	CA 2006-2634017		20061215
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215
EP 1968587	A1	20080917	EP 2006-845602		20061215
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			WO 2006-US48017	W	20061215
IN 2008DN04982	A	20080808	IN 2008-DN4982		20080610
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215
ZA 2008005105	A	20090624	ZA 2008-5105		20080611
			US 2005-751392P	P	20051216
KR 2008077982	A	20080826	KR 2008-714349		20080613
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215
CN 101330916	A	20081224	CN 2006-80047491		20080616
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215
MX 2008007864	A	20090304	MX 2008-7864		20080616
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			WO 2006-US48017	W	20061215
NO 2008002757	A	20080904	NO 2008-2757		20080618
			US 2005-751392P	P	20051216
			WO 2006-US48017	W	20061215

OS MARPAT 147:143425

IT 943629-90-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted imidazoquinolines, imidazonaphthyridines, and imidazopyridines for inducing cytokine biosynthesis)

RN 943629-90-1 CAPLUS

CN 1H-Imidazo[4,5-c]quinoline, 2-(ethoxymethyl)-7-(4-phenyl-1-piperidinyl)-1-[(tetrahydro-2H-pyran-4-yl)methyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

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CRN 943629-89-8
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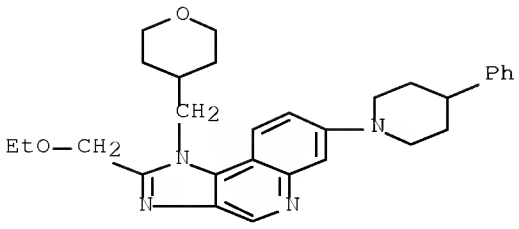
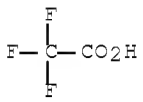


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Substituted pyridines and pyrimidines and compns. contg. them are claimed for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. Example compound 4-(4-tert-butylphenyl)-1-(2,3-dihydrobenzo[b][1,4]dioxin-6- yl)pyridin-2(1H)-one was prepared by reacting 4-(4-tert-butylphenyl)pyridin-2(1H)-one and 1-bromo-3,4-(ethylenedioxy)benzene. No biol. data is given in the patent.

AN 2007:701137 CAPLUS Full-text

DN 147:118146

TI Preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases

IN Chen, Ning; Nishimura, Nobuko; Norman, Mark H.; Ognyanov, Vassil I.; Ognyanov, Diana

PA Amgen, Inc., USA

SO U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070149513	A1	20070628	US 2006-644226	20061222
				US 2005-753994P P	20051223
	WO 2007076104	A1	20070705	WO 2006-US49208	20061222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2005-753994P P 20051223

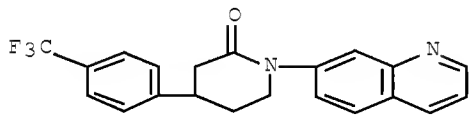
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:118146

IT 942947-13-9P, 1-(Quinolin-7-yl)-4-[4-(trifluoromethyl)phenyl]piperidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases)

RN 942947-13-9 CAPLUS

CN 2-Piperidinone, 1-(7-quinolinyl)-4-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L7 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Quadruplex nucleotide sequences and methods for identifying interacting mols. are provided. The quadruplex sequences comprise C-rich or G-rich sequences from human genomic DNA and may conform to the motif ((G3+)N1-7)3G3+ or ((C3+)N1-7)3C3+, where "3+" is three or more nucleotides, C is cytosine, G is guanine, and N is any nucleotide. The method for identifying quinoline or porphyrin derivs. that bind to human nucleic acid containing a quadruplex structure or displace a protein from a nucleic acid comprises: (1) contact the nucleic acid and a compound that binds to the nucleic acid with a test mol.; and (2) detecting the amount of the compound bound or not bound to the nucleic acid. The test mol. is identified as a mol. that binds to the nucleic acid containing the human nucleotide sequence when less of the compound binds to the nucleic acid in the presence of the test mol. than in the absence of the test mol. The invention also identifies 1450 quinolone derivs. that bind to quadruplex DNA or RNA sequences. Identifying modulators of nucleic acid synthesis is achieved in a system containing template nucleic acid, primer oligonucleotides, and DNA polymerase or RNA polymerase.

AN 2007:538440 CAPLUS Full-text

DN 147:3133

TI Targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivatives

IN O'Brien, Sean; Siddiqui-Jain, Adam

PA Cylene Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 219pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2007056113	A2	20070518	WO 2006-US42906		20061102
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				WO 2006-US42906	W	20061102

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

IT 783361-00-2
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(targeting quadruplex sequences in human nucleic acids by identifying interacting quinoline and porphyrin derivs.)

RN 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

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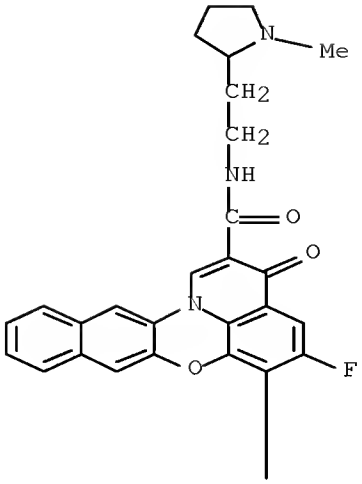

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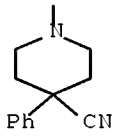

L7 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted (hetero)aryl which may be monocyclic or fused with a single or multiple ring and optionally containing a heteroatom; R5 = H, OR2, alkyl, alkenyl, etc.] or II [V, A, X, Z, and U are as defined above; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful in screening and for inducing apoptosis. Over forty synthetic examples showed the synthesis of intermediates and target compds. E.g., a multi-step synthesis of the amide III, starting from 2,3,4,5-tetrafluorobenzoic acid, was given. The title compds. were tested in various tests. For example, they were tested in a stop assay, a high throughput, first-pass screen detecting drugs that bind to and stabilize the target G-quadruplex. E.g., the compound III exhibits approx. 400x selectivity for the c-Myc quadruplex relative to pUC

18 plasmid DNA. III was also tested for antitumor activity (biol. data given). The pharmaceutical composition comprising the compds. I or II is disclosed.

AN 2006:120542 CAPLUS Full-text

DN 144:212787

TI Preparation of substituted quinobenzoxazine analogs as antitumor agents

IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence

PA Cylene Pharmaceuticals, Inc., USA

SO U.S. Pat. Appl. Publ., 558 pp., Cont.-in-part of U.S. Ser. No. 903,975. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 2004:902098

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2004091504	A2	20041028	WO 2004-US11108		20040407
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FAN 2005:349002

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 144:212787; MARPAT 144:212787

IT 783361-00-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

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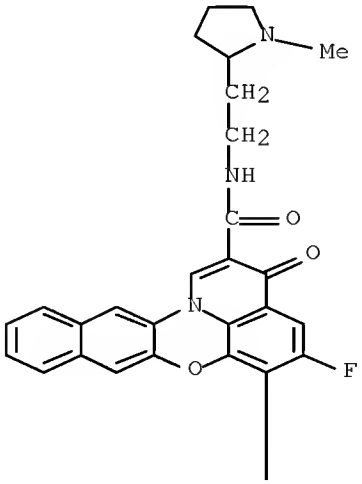

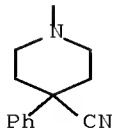

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of quinoline and [1,8]naphthyridine derivs. of formula I [wherein: A is (cyclo)alkylene, alk(en/yn)ylene, or heteroarylene, etc.; Q is N, C(OH), or heteroalkyl, etc.; X is N, CH, C(F), C(OH), or C(NH2), etc.; Y is N, CH, or C(OMe), etc.; Z and L are independently (CH2)1-3; R1 is H, halogen, or NH2, etc.; R2 is H, F, or Cl; R3 is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; R4 is a derivative of oxazole, furan, or isoxazole], useful as antimicrobial agents (no biol. data). The invention compds. are effective against a variety of multi-drug resistant bacteria. For instance, [1,8]naphthyridine derivative II was prepared via amination of 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4- oxo-[1,8]naphthyridine-3-carboxylic acid by piperidine derivative III with a yield of 64%.

AN 2005:570890 CAPLUS Full-text
DN 143:97344
TI A preparation of quinoline and [1,8]naphthyridine derivatives, useful as antibiotics
IN Hubschwerlen, Christian; Specklin, J. L.; Baeschlin, Daniel Kaspar; Sigwalt, Christine; Mueller, Stefan; Cappi, Michael
PA Morphochem A.-G., Germany
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

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PI	WO 2005058888	A2	20050630	WO 2004-EP14500	20041220
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MR, NE, SN, TD, TG

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PATENT FAMILY INFORMATION:

FAN 2004:965064

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PI	WO 2004096221	A1	20041111	WO 2004-EP3650		20040406
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			US 2003-530822P	P	20031218
			WO 2004-EP3650	W	20040406

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:97344; MARPAT 143:97344

IT 856677-21-9P

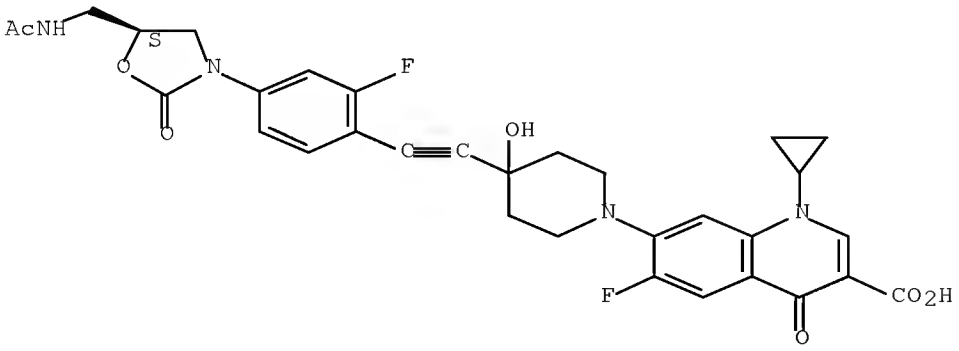
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and [1,8]naphthyridine derivs. useful as antibiotics)

RN 856677-21-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

AN 2005:349002 CAPLUS Full-text
DN 142:373851
TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terence
PA USA
SO U.S. Pat. Appl. Publ., 453 pp., Cont.-in-part of U.S. Ser. No. 821,243.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI US 20050085468	A1	20050421	US 2004-903975		20040730
US 7354916	B2	20080408			
			US 2003-461271P	P	20030407
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			US 2004-821243	A2	20040407
US 7141565	B1	20061128	US 2004-821243		20040407
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			US 2005-106909	A 20050415
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			US 2004-903975	A 20040730
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WO 2006078317	A1	20060727	WO 2005-US26977	20050729
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			US 2005-106909	A 20050415
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			US 2004-821243	A3 20040407
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PATENT FAMILY INFORMATION:

FAN 2004:902098

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FAN 2006:120542

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 142:373851

IT 783361-00-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

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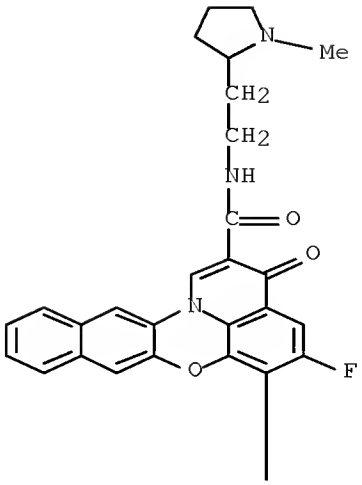

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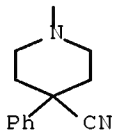

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to quinobenzoxazines analogs I [V = H, halo, NR1R2; A = H, F, N(R1)2; Z = O, S, NR1, CH2; U = OR2, NR1R2; X = OR2, NR1R2, halo, azido, SR2; R1 and R2 in NR1R2 may form a double bond or ring; R1 = H, alkyl; R2 = H, alkyl or alkenyl optionally containing one or more non-adjacent heteroatoms selected from N, O, and S, and optionally substituted with a carbocyclic or heterocyclic ring; or R2 = (un)substituted heterocyclyl, (hetero)aryl; W = (un)substituted 1,2-benzo, pyrido, naphthaleno, etc.; and pharmaceutically acceptable salts, esters and prodrugs thereof] which are useful for ameliorating a cell disorder such as cancer. Forty-six synthetic examples showed the synthesis of intermediates. E.g., a 4-step synthesis of the fluoroacid II, starting from potassium Et malonate and 2,3,4,5-tetrafluorobenzoyl chloride, was given. Such prepared fluoroacids were reacted with amines to provide compds. I which were then tested in MTS assay

and for inhibition of c-myc mRNA. E.g., the compound III showed 50% inhibition of c-myc mRNA levels at 4 μM. The compds. I were tested for antitumor activity in mice (biol. data given for representative compds. I). The compds. I were also claimed as useful for ameliorating a microbial infection.

AN 2004:902098 CAPLUS Full-text
DN 141:395565
TI Preparation of substituted quinobenzoxazine analogs as antitumor agents
IN Whitten, Jeffrey P.; Schwaebe, Michael; Siddiqui-Jain, Adam; Moran, Terrance
PA Cyclene Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 2005:349002

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FAN 2006:120542

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 141:395565

IT 783361-00-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinobenzoxazine analogs as antitumor agents)

RN 783361-00-2 CAPLUS

CN 3H-Benzo[b]pyrido[3,2,1-kl]phenoxazine-2-carboxamide, 6-(4-cyano-4-phenyl-1-piperidinyl)-5-fluoro-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-3-oxo- (CA INDEX NAME)

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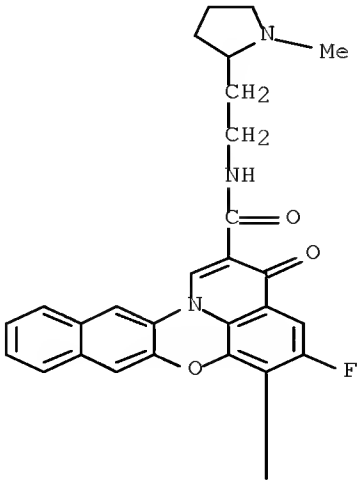

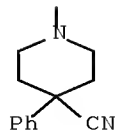

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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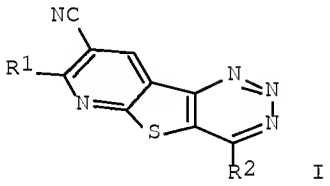

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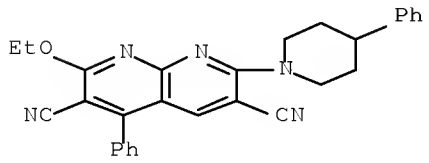
AB New antiprotozoals active against Philasterides dicentrarchi, the causative agent of scuticociliatosis in farmed turbot and Black Sea bass-bream, have been synthesized and tested. The most active compds. possess a piperazine ring, generally N-bonded to the heterocycle, and are 1,8-naphthyridine, pyridothienopyrimidine, and pyridothienotriazine derivs. The pyridothienotriazine I (R1 = 4-methylpiperidino, R2 = 1-piperazinyl) presents the same activity (LD = 0.8/1.5 mg L-1) as the well-known antiparasitics niclosamide and oxyclozanide.

AN 2003:236103 CAPLUS Full-text
DN 139:197457
TI Piperazine N-substituted naphthyridines, pyridothienopyrimidines and pyridothienotriazines: new antiprotozoals active against Philasterides dicentrarchi
AU Quintela, Jose M.; Peinador, Carlos; Gonzalez, Liliana; Iglesias, Raul; Parama, Anabel; Alvarez, Francisca; Sanmartin, Manuel L.; Riguera, Ricardo
CS Facultad de Ciencias, Departamento de Quimica Fundamental e Industrial, Universidad de La Coruna, La Coruna, 15071, Spain
SO European Journal of Medicinal Chemistry (2003), 38(3), 265-275
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
OS CASREACT 139:197457
IT 583051-27-8P
RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl-subtituted naphthyridines, pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)

RN 583051-27-8 CAPLUS
CN 1,8-Naphthyridine-3,6-dicarbonitrile,
2-ethoxy-4-phenyl-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)



OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
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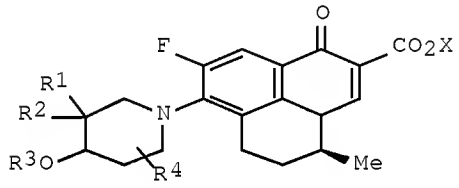

I

AB Title compds. (I; X = H, alkyl, aralkyl, acetoxymethyl, pivaloyloxymethyl, heterocyclylalkyl, etc.; R1, R2 = H, alkyl, aralkyl, aminoalkyl, trifluoroalkyl, halo; R3 = H, alkyl, glycosyl, aralkyl, alkanoyl, aminoalkanoyl; R4 = H, alkyl, CF3, Ph, F, etc.), were prepared Thus, diacetoxy-[(S)-8,9-difluoro-5-methyl-6,7-dihydro-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine-2-carboxy]borane, 4-hydroxy-4-trifluoromethylpiperidine, and Et3N were heated in Me2SO at 120° for 20 h to give 80% (S)-9-fluoro-6,7-dihydro-8-(4-hydroxy-4- trifluoromethylpiperidin-1-yl)-5-methyl-1-oxo-1H,5H-benzo[i,j]quinolizine- 2-carboxylic acid. Tested I showed min. inhibitory concns. of 0.1-0.8 μg/mL against S. pneumoniae.
AN 2001:833316 CAPLUS Full-text
DN 135:371757
TI Preparation of chiral 8-piperidinobenzo[i,j]quinolizines as antibacterials.
IN De Souza, Noel John; Patel, Mahesh Vitalbhai; Agarwal, Shiv Kumar; Gupte, Shrikant Vinayak; Upadhyay, Dilip Jatashankar; Bhawsar, Satish Baliram; Jafri, Mohammad Alam; Khorakiwala, Habil F.
PA India
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

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			US 2001-286291P	P	20010425
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EP 1305048	A2	20030502	EP 2001-958373		20010731
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PATENT FAMILY INFORMATION:

FAN 2000:814485

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FAN 2002:832782

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				US 2001-287104P	P	20010427
				US 2001-341365P	P	20011213
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				US 2001-287104P	P 20010427
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FAN 2003:472505

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FAN 2003:912885

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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				US 2000-222201P	P	20000801
				US 2001-286291P	P	20010425
				US 2001-287104P	P	20010427
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FAN 2004:485161

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				WO 2001-IN100	A 20010508
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			US 2000-566875	A1	20000508

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 135:371757

IT 373603-57-7P

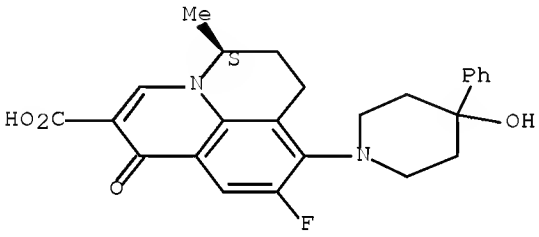
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of chiral piperidinobenzoquinolizines as antibacterials)

RN 373603-57-7 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-8-(4-hydroxy-4-phenyl-1-piperidinyl)-5-methyl-1-oxo-, (5S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

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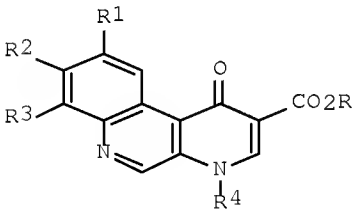

I

AB Title compds. [I; R = H; 1 of R1-R3 = NR5R6, 1 = halo, and the other = H, halo, NR5R6; R4 = (fluoro)alkyl, carboxyalkyl, cycloalkyl, (di)fluorophenyl, alkoxy, alkylamino; NR5R6 = heterocyclyl] were prepared as bactericides (no data). Thus, 2-amino-4-chloro-3,5-difluorobenzaldehyde (preparation given) was cyclocondensed with O2NCH2CH:NOH and the reduced product condensed with EtOCH:C(CO2Et)2 to give, after cyclization and N-methylation, I (R2 = Cl, R3 = F, R4 = Me)(II; R = Et, R1 = F) which was aminated by 1-(3-fluoro-4-

methylphenyl)piperazine to give, after saponification, II [R = H, R1 = 4-(3-fluoro-4-methylphenyl)-1-piperazinyl].

AN 2001:31500 CAPLUS Full-text

DN 134:100855

TI Preparation of 1-oxobenzo[f][1,7]naphthyridine-2-carboxylic acids as bactericides

IN Desconclois, Jean-Francois; Genevois-Borella, Arielle; Girard, Philippe; Kryvenko, Michel; Lavergne, Marc Pierre; Malleron, Jean-Luc; Picaut, Guy; Tabart, Michel; Wentzler, Sylvie

PA Aventis Pharma S.A., Fr.

SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2001002396	A1	20010111	WO 2000-FR1819		20000629
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

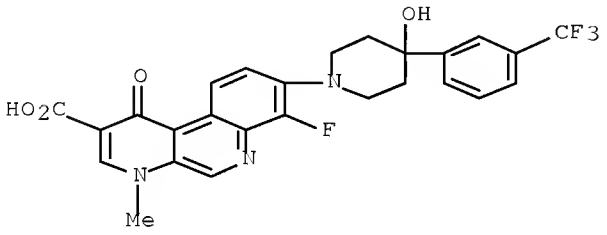
OS MARPAT 134:100855

IT 318683-88-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-oxobenzo[f][1,7]naphthyridine-2-carboxylic acids as bactericides)

RN 318683-88-4 CAPLUS

CN Benzo[f][1,7]naphthyridine-2-carboxylic acid, 7-fluoro-1,4-dihydro-8-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-4-methyl-1-oxo- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

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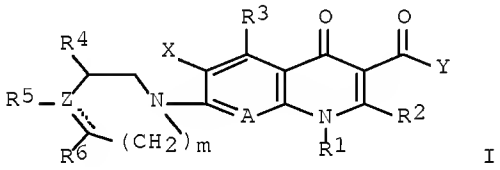


I

AB Cytokine formation inhibitors contain (I; R1 = C1-6 alkyl; R2, R3, R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = Oh; Z = C, etc.) and their salts for treatment of cytokines-related diseases. The cytokines include IL-1 to IL-15, TNF-α, M-CAF, RANTES, MIP-1, SCF, GM-CSF, G-CSF, M-CSF, erythropoietin, thrombopoietin, interferon, NGF, TGF-β, PDGF, EGF, and LIF.

AN 1999:380682 CAPLUS Full-text

DN 131:68133

TI Cytokine formation inhibitors for treatment of cytokines-related diseases

IN Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

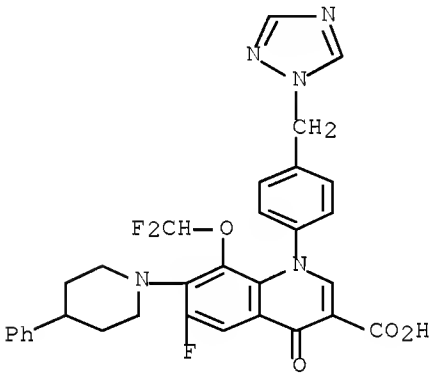
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI JP 11158071 A 19990615 JP 1997-331575 19971202
JP 3739916 B2 20060125
JP 1997-331575 19971202

IT 228548-93-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cytokine formation inhibitors for treatment of cytokines-related diseases)

RN 228548-93-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)-1-[4-(1H-1,2,4-triazol-1-ylmethyl)phenyl]- (CA INDEX NAME)



L7 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

AB Seven analogs of tricyclic rufloxacin were prepd. and their MIC were evaluated against 13 kinds of bacteria. One of the compds. had better antibacterial activity than rufloxacin in vitro.

AN 1999:74954 CAPLUS Full-text

DN 130:332303

TI Synthesis and antibacterial activity of tricyclic fluoroquinolones

AU Xiong, Wennan; Wang, Erhua; Tang, Zhiyue

CS Medicinal and Chemical Engineering Institute, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China

SO Zhongguo Yaowu Huaxue Zazhi (1998), 8(3), 174-177
CODEN: ZYHZEF; ISSN: 1005-0108

PB Zhongguo Yaowu Huaxue Zazhi Bianjibu

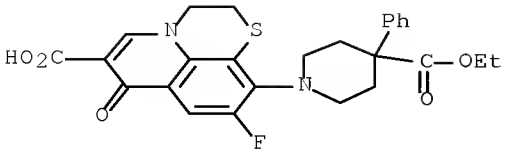
DT Journal

LA Chinese

IT 224313-58-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of tricyclic fluoroquinolones)

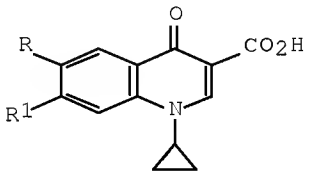
RN 224313-58-0 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylic acid, 10-[4-(ethoxycarbonyl)-4-phenyl-1-piperidinyl]-9-fluoro-2,3-dihydro-7-oxo- (CA INDEX NAME)

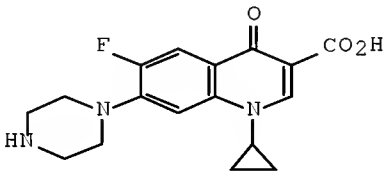


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L7 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I



II

AB The title compds. [I; R = H, Br, Cl, F, NO2; R1 = H, Cl, F, R2R3N; R2, R3 = alkyl, hydroxyalkyl; R2R3N = (un)substituted heterocyclyl] (89 compds.) were prepared Thus, CH2(CO2Et)2 underwent Grignard benzoylation with 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH(CO2Et)2. This was decarboxylated and condensed with HC(OEt)3 to give 2,4,5-Cl2FC6H2COC(:CHOEt)CO2Et which was treated with cyclopropylamine and cyclized to give I (R = F, R1 = Cl). This was treated with piperazine to give II.HCl. On rice plants 0.025% II.HCl gave 80% protection against damage by Xanthomonas oryzae.

AN 1984:611165 CAPLUS Full-text
DN 101:211165
OREF 101:31999a,32002a
TI Microbicidal composition based on quinolonecarboxylic acid
IN Grohe, Klaus; Petersen, Uwe; Kuck, Karl Heinz
PA Bayer A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 60 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 3248507	A1	19840705	DE 1982-3248507		19821229
	US 4563459	A	19860107	US 1983-561441		19831214
				DE 1982-3248507	A	19821229
	EP 113091	A1	19840711	EP 1983-112720		19831217
	EP 113091	B1	19860730			
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL					
				DE 1982-3248507	A	19821229
	AT 21011	T	19860815	AT 1983-112720		19831217
				DE 1982-3248507	A	19821229
				EP 1983-112720	A	19831217
	AU 8322863	A	19840705	AU 1983-22863		19831223
	AU 563747	B2	19870723			
				DE 1982-3248507	A	19821229
	CA 1232198	A1	19880202	CA 1983-444242		19831223

				DE 1982-3248507	A 19821229
IL 70540	A	19870731	IL 1983-70540		19831226
			DE 1982-3248507	A	19821229
BR 8307166	A	19840807	BR 1983-7166		19831227
			DE 1982-3248507	A	19821229
DK 8306038	A	19840630	DK 1983-6038		19831228
			DE 1982-3248507	A	19821229
ZA 8309647	A	19840829	ZA 1983-9647		19831228
			DE 1982-3248507	A	19821229
HU 32709	A2	19840928	HU 1983-4498		19831228
HU 194482	B	19880229			
			DE 1982-3248507	A	19821229
JP 59130802	A	19840727	JP 1983-252506		19831229
			DE 1982-3248507	A	19821229

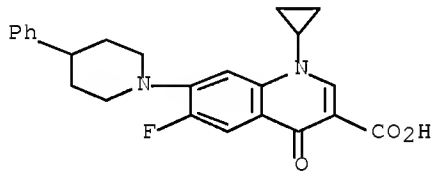
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 101:211165; MARPAT 101:211165

IT 93106-79-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93106-79-7 CAPLUS

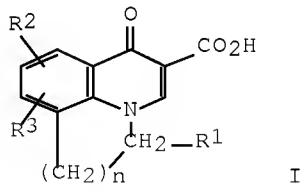
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L7 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN

GI



I

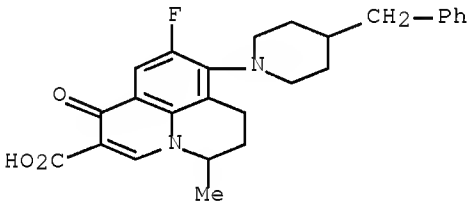
AB Benzoheterocyclic compds. I (R1 = H or alkyl; R2 = H or halogen; R3 = 1-pyrrolidinyl, 1,2,5,6-tetrahydro-1-pyridyl, 1-piperazinyl, etc., n = 1 or 2) are antimicrobial agents. Thus, 9-fluoro-8-(4-hydroxy-1-piperidyl)-5-methyl-6,7-dihydro-1-oxo-1H,5H- benzo[ij] quinalizine-2-carboxylic acid (I; R1 = Me, R2 = 9-F, R3 = 8-(4-hydroxypiperidino), n = 2)(II) [81962-84-7] was prepared by treating 9-fluoro-8-(bromo-5-methyl-6,7-dihydro-1-oxo-1H,5H-benzo[ij]quinalizine-2- carboxylic acid [77483-92-2] with 4-hydroxypiperidine [5382-16-1]. An ointment was prepared by mixing II Na salt [86826-12-2] 2, lanolin 5, beeswax 5, and white vaseline 88 g. Forty-two I were synthesized

and their activities against 41 species of bacteria such as Escherichia coli, Bacillus cereus, Staphylococcus aureus, etc. were shown.

AN 1983:493740 CAPLUS Full-text
DN 99:93740
OREF 99:14385a,14388a
TI Benzoquinalizines and pyrroloquinolines antimicrobial agents
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

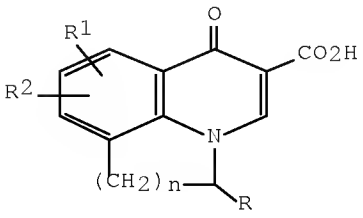
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 58090511	A	19830530	JP 1981-189806	19811125
JP 01041127	B	19890904		
			JP 1981-189806	19811125

IT 81962-88-1P
RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)
RN 81962-88-1 CAPLUS
CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-5-methyl-1-oxo-8-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L7 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I

AB Quinolinones I [n = 1, 2; R = H, alkyl; R1 = H, halogen; R2 = (un)substituted pyrrolidino, tetrahydropyrido, piperidino, morpholino, piperazino] were prepared Thus 1.05 g I [n = 2, R = Me, R1 = 9-F, R2 = 8-(4-

hydroxypiperidino), II] was obtained by reaction of 7.5 g I (n = 2, R = Me, R1 = 9-F, R2 = 8-Br) with 9.5 g 4-piperidinol. I had a min. inhibitory concentration against Escherichia coli NIHJ JC-2 of 0.39 μg/mL.

AN 1982:406177 CAPLUS Full-text
DN 97:6177
OREF 97:1191a,1194a
TI Benzoheterocyclic compounds used as antimicrobial medicines
IN Ishikawa, Hiroshi; Nakagawa, Kazuyuki; Uno, Testuyuki; Kano, Masanobu
PA Otsuka Pharmaceutical Co., Ltd. , Japan
SO Belg., 83 pp.
CODEN: BEXXAL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	BE 891046	A1	19820301	BE 1981-206483		19811109
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	JP 57081486	A	19820521	JP 1980-158652		19801110
	JP 01007996	B	19890210			
	JP 57176987	A	19821030	JP 1981-63170		19810424
	JP 02022074	B	19900517			
	NO 8103726	A	19820511	NO 1981-3726		19811104
	NO 156828	B	19870824			
	NO 156828	C	19871202			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	AT 8104748	A	19920215	AT 1981-4748		19811105
	AT 395150	B	19920925			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	DK 8104952	A	19820511	DK 1981-4952		19811109
	DK 160940	B	19910506			
	DK 160940	C	19911021			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	FI 8103526	A	19820511	FI 1981-3526		19811109
	FI 71141	B	19860814			
	FI 71141	C	19861124			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	SE 8106642	A	19820614	SE 1981-6642		19811109
	SE 448542	B	19870302			
	SE 448542	C	19870611			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	DE 3144455	A1	19820624	DE 1981-3144455		19811109
	DE 3144455	C2	19901004			
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	ZA 8107733	A	19821027	ZA 1981-7733		19811109
				JP 1980-158652	A	19801110
	SU 1366055	A3	19880107	SU 1981-3354800		19811109
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
	DE 3153221	C2	19910704	DE 1981-3153221		19811109
				JP 1981-63170	A	19810424
	FR 2493849	A1	19820514	FR 1981-21100		19811110
	FR 2493849	B1	19841228			

				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
GB 2086905	A	19820519		GB 1981-33890		19811110
GB 2086905	B	19841205				
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
NL 8105075	A	19820601		NL 1981-5075		19811110
NL 193457	B	19990701				
NL 193457	C	19991102				
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				JP 1981-63170	A	19810424
AU 8177335	A	19820805		AU 1981-77335		19811110
AU 546358	B2	19850829				
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US 4399134	A	19830816		US 1981-320027		19811110
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				JP 1981-63170	A	19810424
CA 1179341	A1	19841211		CA 1981-389769		19811110
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
CH 648845	A5	19850415		CH 1981-7200		19811110
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
SU 1277896	A3	19861215		SU 1982-3527501		19821227
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
US 4552879	A	19851112		US 1983-497914		19830525
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
				US 1981-320027	A3	19811110
AT 8902887	A	19910315		AT 1989-2887		19891220
AT 393383	B	19911010				
				JP 1980-158652	A	19801110
				JP 1981-63170	A	19810424
				AT 1981-4748	A	19811105

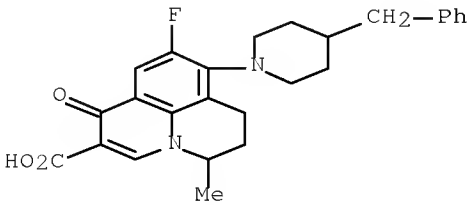
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 97:6177; MARPAT 97:6177

IT 81962-88-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81962-88-1 CAPLUS

CN 1H,5H-Benzo[ij]quinolizine-2-carboxylic acid, 9-fluoro-6,7-dihydro-5-methyl-1-oxo-8-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)



OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

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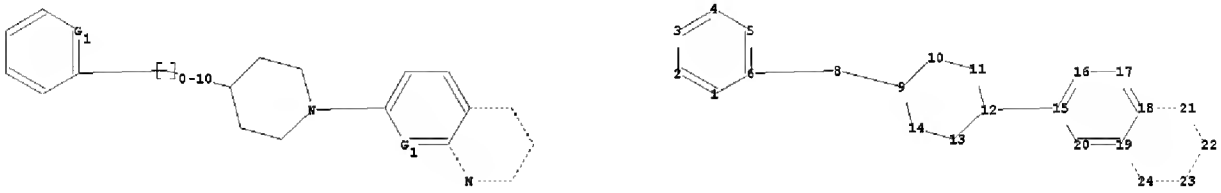

chain nodes :
8
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24
chain bonds :
6-8 8-9 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14 15-16 15-20
16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-8 8-9 9-10 9-14 10-11 11-12 12-13 12-15 13-
14 15-16 15-20 16-17 17-18 18-19 18-21 19-20 19-24 21-22 22-23 23-24
isolated ring systems :
containing 1 : 9 : 15 :

G1:C,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

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http://www.cas.org/support/stngen/stndoc/properties.html

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
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PROJECTED ITERATIONS: 19915 TO 23885
PROJECTED ANSWERS: 1 TO 80

L9 1 SEA SSS SAM L8

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SEARCH TIME: 00.00.01

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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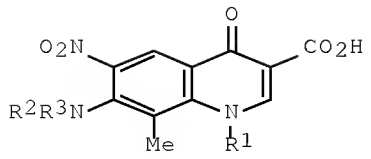
L11 10 L10

=> d abs bib hitstr 1-10

L11 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I

AB Fifty one quinoline-3-carboxylic acids I (R1 = c-Pr, t-Bu, 2,4-F2C6H3; R2R3N = 17 secondary amines) were synthesized from 1,3-dichloro-2-methylbenzene and evaluated for in-vitro antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB), and Mycobacterium smegmatis (MC2). Among the synthesized compds., I (R1 = c-Pr, R2R3N = 1,2,3,4-tetrahydro-6,7-dimethoxy-2-isoquinolinyl) was found to be the most active compound in vitro with a MIC value of 0.39 μM against MTB. Against MDR-TB, compound I (R1 = c-Pr, R2R3N = 2-carboxy-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-7-yl) was found to be the most active with a MIC value of 0.09 μM. Generally, quinoline-3-carboxylic acids I (R1 = c-Pr) were most active and most were tested for their cytotoxicity in a mammalian Vero cell line.

AN 2009:254822 CAPLUS Full-text
DN 150:472531

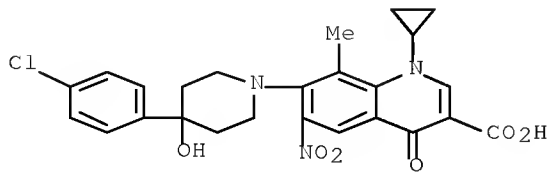
TI Synthesis and in-vitro antimycobacterial evaluation of 1-(cyclopropyl/2,4-difluorophenyl/tert-butyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo-7-(substituted secondary amino)quinoline-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Chandraseakaran, Yogesh; Yogeeswari, Perumal; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, India

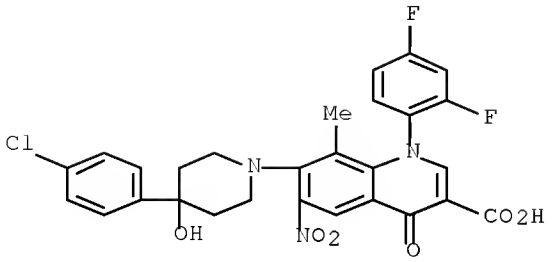
SO Archiv der Pharmazie (Weinheim, Germany) (2009), 342(2), 100-112
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 150:472531

IT 1146300-42-6P 1146300-59-5P 1146300-76-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (amino)(oxo)quinolinecarboxylic acids and their antimycobacterial structure-activity relationships)

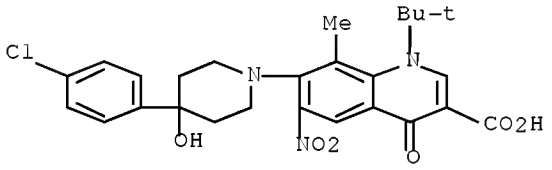
RN 1146300-42-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)



RN 1146300-59-5 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(2,4-difluorophenyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)

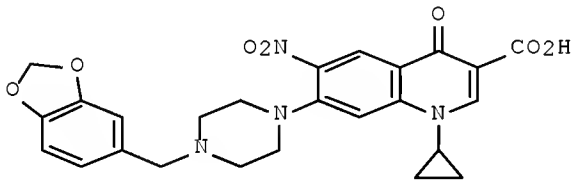


RN 1146300-76-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4-dihydro-8-methyl-6-nitro-4-oxo- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I

AB Various 1-(substituted)-1,4-dihydro-6-nitro-4-oxo-7-(sub-secondary amino)-quinoline-3-carboxylic acids were synthesized from 2,4-dichlorobenzoic acid by six step synthesis. The compds. were evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the 48 synthesized compds., compound I was found to be the most active compound in vitro with MIC of 0.08 and 0.16 μM against MTB and MDR-TB, resp. In the in vivo animal model, compound I decreased the bacterial load in lung and spleen tissues with 2.78 and 4.15-log 10 protections, resp., at the dose of 50 mg/kg body weight
AN 2009:5914 CAPLUS Full-text
DN 150:259917

TI Synthesis and antimycobacterial activities of novel 6-nitroquinolone-3-carboxylic acids

AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; Sriram, Dharmarajan; China, Arnab; Nagaraja, Valakunja

CS Medicinal Chemistry Research Laboratory, Pharmacy Group, Birla Institute of Technology and Science, Pilani, 333031, India

SO European Journal of Medicinal Chemistry (2009), 44(1), 345-358
CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier Masson SAS

DT Journal

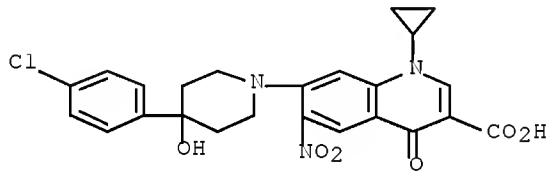
LA English

OS CASREACT 150:259917

IT 1119087-07-8P 1119087-58-9P 1119088-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of nitroquinolinolonecarboxylic acids via nitration of dichlorobenzoic acid followed by alkylation, cyclization with amines and amination with secondary amines, and their antimycobacterial activity)

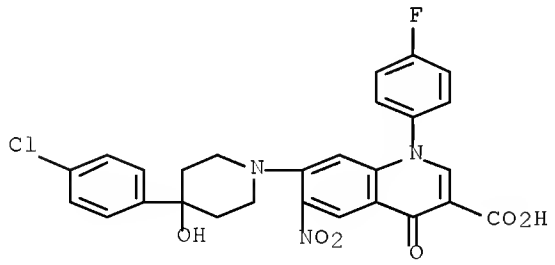
RN 1119087-07-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



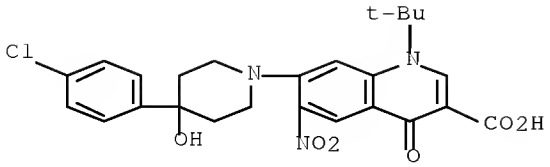
RN 1119087-58-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



RN 1119088-09-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

AB On the basis of our recent findings that 6-aminoquinolones inhibit the HIV Tat-mediated transactivation, we have designed a broad series of derivs. identifying novel potent agents such as the 6-desfluoroquinolones 24 (HM12) and 27 (HM13), which showed pronounced anti-HIV activity in acutely, chronically, and latently HIV-1 infected cell cultures. We demonstrate here that highly potent mols. can be obtained by optimizing the substituent in the various positions of the quinolone nucleus.

AN 2008:1015905 CAPLUS Full-text

DN 149:346718

TI Structure-Activity Relationship Study on Anti-HIV 6-Desfluoroquinolones

AU Tabarrini, Oriana; Massari, Serena; Daelemans, Dirk; Stevens, Miguel; Manfroni, Giuseppe; Sabatini, Stefano; Balzarini, Jan; Cecchetti, Violetta; Pannecouque, Christophe; Fravolini, Arnaldo

CS Dipartimento di Chimica e Tecnologia del Farmaco, Universita di Perugia, Perugia, 06123, Italy

SO Journal of Medicinal Chemistry (2008), 51(17), 5454-5458
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

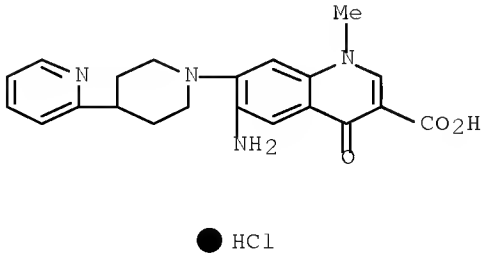
LA English

OS CASREACT 149:346718

IT 1056878-77-3P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and SAR of anti-HIV 6-desfluoroquinolones)

RN 1056878-77-3 CAPLUS

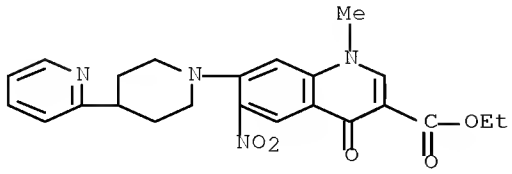
CN 3-Quinolinecarboxylic acid, 6-amino-1,4-dihydro-1-methyl-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

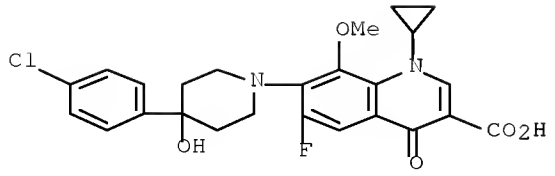
IT 1056879-21-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and SAR of anti-HIV 6-desfluoroquinolones)
RN 1056879-21-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 1,4-dihydro-1-methyl-6-nitro-4-oxo-7-[4-(2-pyridinyl)-1-piperidinyl]-, ethyl ester (CA INDEX NAME)

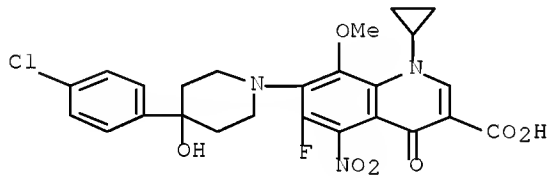


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
AB Thirty-four newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids were synthesized from 1,2,3,4-tetrafluoro benzene and evaluated for in vitro and in vivo antimycobacterial activities against Mycobacterium tuberculosis H37Rv (MTB), multi-drug resistant M. tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase. Among the synthesized compds., 7-(1-(4-methoxybenzyl)-3,4,5,6,7,8-hexahydroisoquinolin-2(1H)-yl)- 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxoquinoline-3- carboxylic acid (13n) was found to be the most active compound in vitro with MIC of 0.16 and 0.33 μM against MTB and MDR-TB, resp. In the in vivo animal model 13n decreased the bacterial load in lung and spleen tissues with 2.54 and 2.92 - log10 protections, resp., at the dose of 50 mg/kg body weight Compound 13n also inhibited the supercoiling activity of mycobacterial DNA gyrase with IC50 of 30.0 μg/mL.
AN 2008:339599 CAPLUS Full-text
DN 148:444657
TI Synthesis and antimycobacterial evaluation of newer 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids
AU Senthilkumar, Palaniappan; Dinakaran, Murugesan; Banerjee, Debjani; Devakaram, Ruth Vandana; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja; Sriram, Dharmarajan
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Bioorganic & Medicinal Chemistry (2008), 16(5), 2558-2569
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 148:444657
IT 1018937-82-0P 1018937-85-3P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of 1-cyclopropyl-1,4-dihydro-6-fluoro-7-(substituted secondary amino)-8-methoxy-5-(sub)-4-oxoquinoline-3-carboxylic acids)
RN 1018937-82-0 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)



RN 1018937-85-3 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-5-nitro-4-oxo- (CA INDEX NAME)

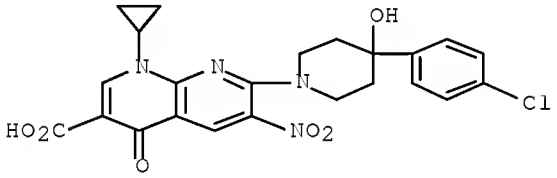


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

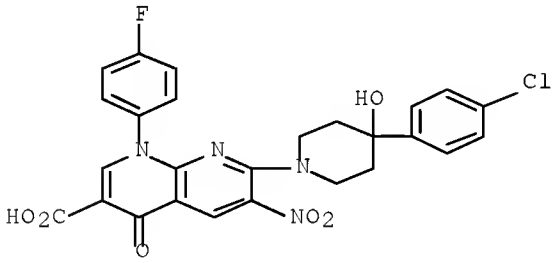
L11 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
AB Fifty-one 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids were synthesized and evaluated for antimycobacterial in vitro and in vivo against Mycobacterium tuberculosis H37Rv (MTB), multi-drug-resistant Mycobacterium tuberculosis (MDR-TB) and Mycobacterium smegmatis (MC2) and also tested for the ability to inhibit the supercoiling activity of DNA gyrase from M. smegmatis. Among the synthesized compds., 1-tert-butyl-1,4-dihydro-7-(4,4-dimethyloxazolidin-3-yl)-6-nitro-4-oxo-1,8- naphthyridine-3-carboxylic acid (10q) is the most active compound in vitro with an MIC of 0.1 μM against MTB and MDR-TB and was 3 and 455 times more potent than isoniazid against MTB and MDR-TB, resp. In the in vivo animal model 10q decreased the bacterial load in lung and spleen tissues with 2.39 and 3.89-log10protections, resp., at the dose of 50 mg/kg body weight
AN 2007:1215588 CAPLUS Full-text
DN 148:78912
TI Antimycobacterial Activities of Novel 1-(Cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic Acid
AU Sriram, Dharmarajan; Senthilkumar, Palaniappan; Dinakaran, Murugesan; Yogeeswari, Perumal; China, Arnab; Nagaraja, Valakunja
CS Medicinal Chemistry Research Laboratory, Pharmacy group, Birla Institute of Technology and Science, Pilani, 333031, India
SO Journal of Medicinal Chemistry (2007), 50(24), 6232-6239
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 148:78912

IT 960314-15-2P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic Acid 960314-16-3P, 7-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1,4-dihydro-1-(4-fluorophenyl)-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic acid 960314-17-4P, 1-tert-Butyl-7-[4-(4-chlorophenyl)-4-hydroxypiperidin-1-yl]-1,4-dihydro-6-nitro-4-oxo-1,8-naphthyridine-3-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antimycobacterial activities of novel 1-(cyclopropyl/tert-butyl/4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo-7-(substituted secondary amino)-1,8-naphthyridine-3-carboxylic acids)

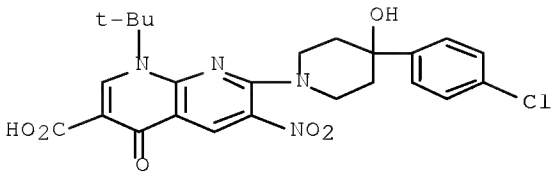
RN 960314-15-2 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-cyclopropyl-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



RN 960314-16-3 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



RN 960314-17-4 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(1,1-dimethylethyl)-1,4-dihydro-6-nitro-4-oxo- (CA INDEX NAME)



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

AB Substituted pyridines and pyrimidines and compns. contg. them are claimed for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotizing agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. Example compound 4-(4-tert-butylphenyl)-1-(2,3-dihydrobenzo[b][1,4]dioxin-6- yl)pyridin-2(1H)-one was prepared by reacting 4-(4-tert-butylphenyl)pyridin-2(1H)-one and 1-bromo-3,4-(ethylenedioxy)benzene. No biol. data is given in the patent.

AN 2007:701137 CAPLUS Full-text
DN 147:118146

TI Preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases

IN Chen, Ning; Nishimura, Nobuko; Norman, Mark H.; Ognyanov, Vassil I.; Ognyanov, Diana
PA Amgen, Inc., USA

SO U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 20070149513	A1	20070628	US 2006-644226	20061222
WO 2007076104	A1	20070705	WO 2006-US49208	20061222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2005-753994P P 20051223

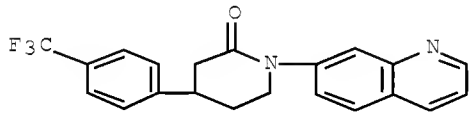
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 147:118146

IT 942947-13-9P, 1-(Quinolin-7-yl)-4-[4-(trifluoromethyl)phenyl]piperidin-2-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyridines and pyrimidines as vanilloid receptor ligands for treatment of pain, inflammatory conditions, and other diseases)

RN 942947-13-9 CAPLUS

CN 2-Piperidinone, 1-(7-quinolinyl)-4-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



L11 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of quinoline and [1,8]naphthyridine derivs. of formula I [wherein: A is (cyclo)alkylene, alk(en/yn)ylene, or heteroarylene, etc.; Q is N, C(OH), or heteroalkyl, etc.; X is N, CH, C(F), C(OH), or C(NH2), etc.; Y is N, CH, or C(OMe), etc.; Z and L are independently (CH2)1-3; R1 is H, halogen, or NH2, etc.; R2 is H, F, or Cl; R3 is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; R4 is a derivative of oxazole, furan, or isoxazole], useful as antimicrobial agents (no biol. data). The invention compds. are effective against a variety of multi-drug resistant bacteria. For instance, [1,8]naphthyridine derivative II was prepared via amination of 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4- oxo-[1,8]naphthyridine-3-carboxylic acid by piperidine derivative III with a yield of 64%.

AN 2005:570890 CAPLUS Full-text

DN 143:97344

TI A preparation of quinoline and [1,8]naphthyridine derivatives, useful as antibiotics

IN Hubschwerlen, Christian; Specklin, J. L.; Baeschlin, Daniel Kaspar; Sigwalt, Christine; Mueller, Stefan; Cappi, Michael

PA Morphochem A.-G., Germany

SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005058888	A2	20050630	WO 2004-EP14500	20041220

WO 2005058888 A3 20050818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1557416 A1 20050727 EP 2004-1506 20040123
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AU 2004299278 A1 20050630 AU 2004-299278 20041220
CA 2549675 A1 20050630 CA 2004-2549675 20041220
EP 1709044 A2 20061011 EP 2004-804099 20041220
EP 1709044 B1 20080716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
CN 1898238 A 20070117 CN 2004-80038072 20041220
BR 2004017193 A 20070306 BR 2004-17193 20041220
JP 2007516263 T 20070621 JP 2006-544382 20041220
ZA 2006004180 A 20071128 ZA 2006-4180 20041220
AT 401326 T 20080815 AT 2004-804099 20041220
PT 1709044 E 20081027 PT 2004-804099 20041220
ES 2310299 T3 20090101 ES 2004-804099 20041220
RU 2371443 C2 20091027 RU 2006-125510 20041220
IN 2006MN00693 A 20070323 IN 2006-MN693 20060613
MX 2006006769 A 20061219 MX 2006-6769 20060615
KR 2007067003 A 20070627 KR 2006-714403 20060718
HK 1090647 A1 20080905 HK 2006-112470 20061113
US 20080027040 A1 20080131 US 2007-583419 20070928
PRAI US 2003-530822P P 20031218
EP 2004-1506 A 20040123
WO 2004-EP14500 W 20041220

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

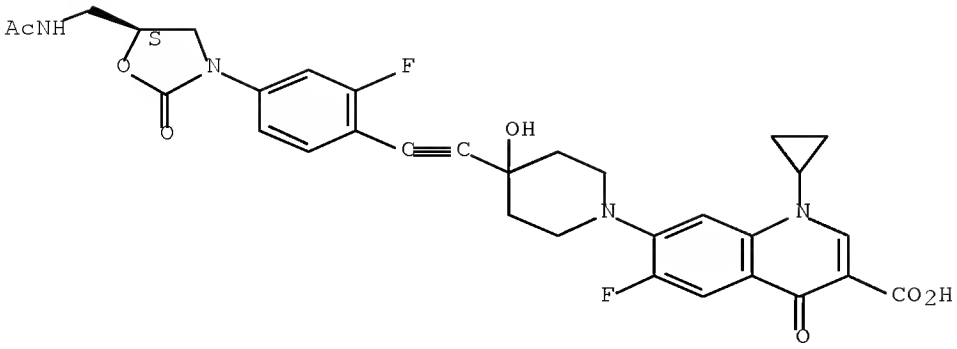
OS CASREACT 143:97344; MARPAT 143:97344

IT 856677-21-9P 856677-23-1P 856677-37-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and [1,8]naphthyridine derivs. useful as antibiotics)

RN 856677-21-9 CAPLUS

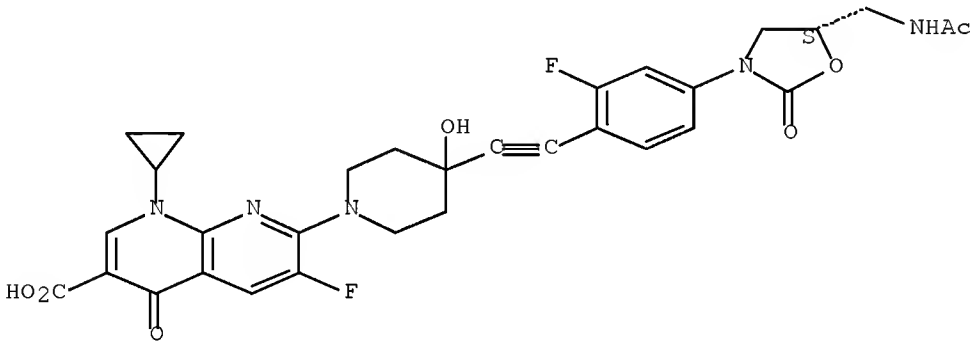
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



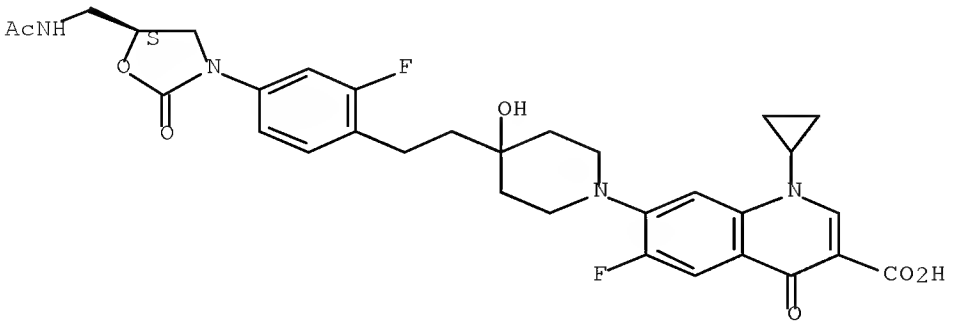
RN 856677-23-1 CAPLUS
CN 1,8-Naphthyridine-3-carboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethynyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



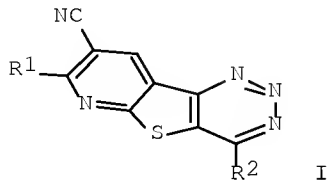
RN 856677-37-7 CAPLUS
CN 3-Quinolinecarboxylic acid, 7-[4-[2-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]ethyl]-4-hydroxy-1-piperidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

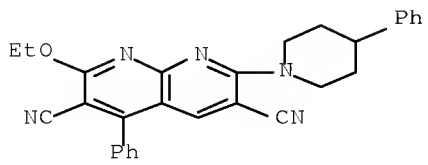
L11 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
GI



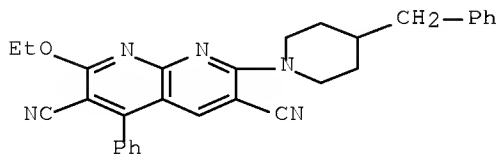
I

AB New antiprotozoals active against Philasterides dicentrarchi, the causative agent of scuticociliatosis in farmed turbot and Black Sea bass-bream, have been synthesized and tested. The most active compds. possess a piperazine ring, generally N-bonded to the heterocycle, and are 1,8-naphthyridine, pyridothienopyrimidine, and pyridothienotriazine derivs. The pyridothienotriazine I (R1 = 4-methylpiperidino, R2 = 1-piperazinyl) presents the same activity (LD = 0.8/1.5 mg L-1) as the well-known antiparasitics niclosamide and oxyclozanide.

AN 2003:236103 CAPLUS Full-text
DN 139:197457
TI Piperazine N-substituted naphthyridines, pyridothienopyrimidines and pyridothienotriazines: new antiprotozoals active against Philasterides dicentrarchi
AU Quintela, Jose M.; Peinador, Carlos; Gonzalez, Liliana; Iglesias, Raul; Parama, Anabel; Alvarez, Francisca; Sanmartin, Manuel L.; Riguera, Ricardo
CS Facultad de Ciencias, Departamento de Quimica Fundamental e Industrial, Universidad de La Coruna, La Coruna, 15071, Spain
SO European Journal of Medicinal Chemistry (2003), 38(3), 265-275
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
OS CASREACT 139:197457
IT 583051-27-8P 583051-28-9P
RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl-subtituted naphthyridines, pyridothienopyrimidines, and pyridothienotriazines as antiprotozoals active against Philasterides dicentrarchi)
RN 583051-27-8 CAPLUS
CN 1,8-Naphthyridine-3,6-dicarbonitrile, 2-ethoxy-4-phenyl-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

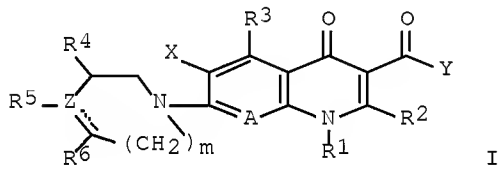


RN 583051-28-9 CAPLUS
CN 1,8-Naphthyridine-3,6-dicarbonitrile,
2-ethoxy-4-phenyl-7-[4-(phenylmethyl)-1-piperidinyl]- (CA INDEX NAME)



OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
GI



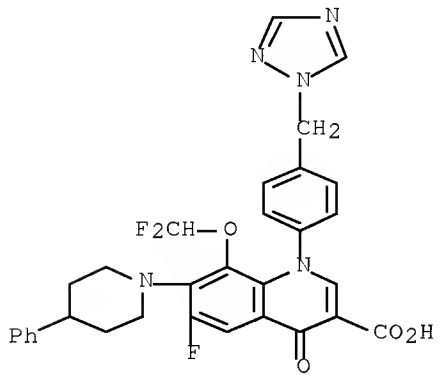
I

AB Cytokine formation inhibitors contain (I; R1 = C1-6 alkyl; R2, R3, R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = Oh; Z = C, etc.) and their salts for treatment of cytokines-related diseases. The cytokines include IL-1 to IL-15, TNF-α, M-CAF, RANTES, MIP-1, SCF, GM-CSF, G-CSF, M-CSF, erythropoietin, thrombopoietin, interferon, NGF, TGF-β, PDGF, EGF, and LIF.
AN 1999:380682 CAPLUS Full-text
DN 131:68133
TI Cytokine formation inhibitors for treatment of cytokines-related diseases
IN Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

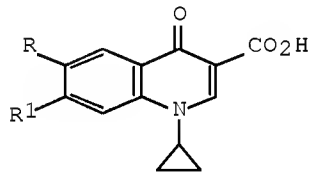
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11158071	A	19990615	JP 1997-331575	19971202
	JP 3739916	B2	20060125		
PRAI	JP 1997-331575		19971202		

IT 228548-93-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cytokine formation inhibitors for treatment of cytokines-related diseases)
RN 228548-93-4 CAPLUS

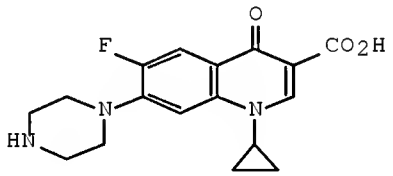
CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)-1-[4-(1H-1,2,4-triazol-1-ylmethyl)phenyl]- (CA INDEX NAME)



L11 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2010 ACS on STN
GI



I



II

AB The title compds. [I; R = H, Br, Cl, F, NO2; R1 = H, Cl, F, R2R3N; R2, R3 = alkyl, hydroxyalkyl; R2R3N = (un)substituted heterocyclyl] (89 compds.) were prepared Thus, CH2(CO2Et)2 underwent Grignard benzoylation with 2,4,5-Cl2FC6H2COCl to give 2,4,5-Cl2FC6H2COCH(CO2Et)2. This was decarboxylated and condensed with HC(OEt)3 to give 2,4,5-Cl2FC6H2COC(:CHOEt)CO2Et which was treated with cyclopropylamine and cyclized to give I (R = F, R1 = Cl). This was treated with piperazine to give II.HCl. On rice plants 0.025% II.HCl gave 80% protection against damage by Xanthomonas oryzae.

AN 1984:611165 CAPLUS Full-text
DN 101:211165
OREF 101:31999a,32002a
TI Microbicidal composition based on quinolonecarboxylic acid
IN Grohe, Klaus; Petersen, Uwe; Kuck, Karl Heinz
PA Bayer A.-G. , Fed. Rep. Ger.
SO Ger. Offen., 60 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3248507	A1	19840705	DE 1982-3248507	19821229

	US 4563459	A	19860107	US 1983-561441	19831214
	EP 113091	A1	19840711	EP 1983-112720	19831217
	EP 113091	B1	19860730		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
	AT 21011	T	19860815	AT 1983-112720	19831217
	AU 8322863	A	19840705	AU 1983-22863	19831223
	AU 563747	B2	19870723		
	CA 1232198	A1	19880202	CA 1983-444242	19831223
	IL 70540	A	19870731	IL 1983-70540	19831226
	BR 8307166	A	19840807	BR 1983-7166	19831227
	DK 8306038	A	19840630	DK 1983-6038	19831228
	ZA 8309647	A	19840829	ZA 1983-9647	19831228
	HU 32709	A2	19840928	HU 1983-4498	19831228
	HU 194482	B	19880229		
	JP 59130802	A	19840727	JP 1983-252506	19831229
PRAI	DE 1982-3248507	A	19821229		
	EP 1983-112720	A	19831217		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

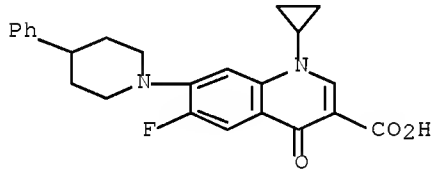
OS CASREACT 101:211165; MARPAT 101:211165

IT 93106-79-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93106-79-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)